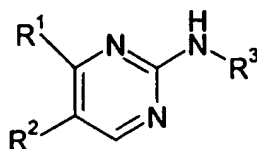


CLAIMS

1. A compound of formula (I)

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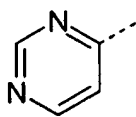


(I)

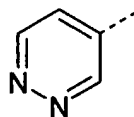
wherein

10 R¹ represents a monocyclic or polycyclic, aryl or heteroaryl group optionally substituted by one, two or three substituents selected from the group consisting of halogen atoms, straight or branched, optionally substituted lower alkyl, hydroxy, straight or branched, optionally substituted lower alkoxy, -SH, straight or branched optionally substituted lower alkylthio, nitro, cyano, -NR'R'', -CO₂R', -C(O)-NR'R'', -
 15 N(R''')C(O)-R', -N(R''')-C(O)NR'R'', wherein R', R'' and R''' each independently represents a hydrogen atom or a straight or branched, optionally substituted lower alkyl group or R' and R'' together with the atom to which they are attached form a cyclic group;

20 R² represents a monocyclic N-containing heteroaryl group selected from the groups of formulae (IIa) or (IIb):



(IIa)



(IIb)

25

the groups of formula (IIa) and (IIb) being optionally substituted by one, two or three substituents selected from group consisting of halogen atoms, straight or branched, optionally substituted lower alkyl, hydroxy, straight or branched, optionally substituted lower alkoxy, -SH, straight or branched optionally substituted lower alkylthio, nitro, cyano, -NR'R'', -CO₂R', -C(O)-NR'R'', -N(R''')C(O)-R', -N(R''')-C(O)NR'R'', wherein
 30

R', R'' and R''' each independently represents a hydrogen atom or a straight or branched, optionally substituted lower alkyl group or R' and R'' together with the atom to which they are attached form a cyclic group.

- 5 R³ represents a monocyclic or polycyclic, heteroaryl group being optionally substituted by one, two or three substituents selected from the group consisting of halogen atoms, straight or branched, optionally substituted lower alkyl, hydroxy, oxo, straight or branched, optionally substituted lower alkoxy, -SH, straight or branched optionally substituted lower alkylthio, nitro, cyano, -NR'R'', -CO₂R', -C(O)-NR'R'', -
- 10 N(R''')C(O)-R', -N(R''')-C(O)NR'R'', wherein R', R'' and R''' each independently represents a hydrogen atom or a straight or branched, optionally substituted lower alkyl group or R' and R'' together with the atom to which they are attached form a cyclic group.
- 15 or an N-oxide or a pharmaceutically acceptable salt thereof;
2. A compound according to claim 1 wherein R³ represents a either a monocyclic or polycyclic heteroaryl group comprising a nitrogen-containing six-membered ring or a monocyclic five-membered heteroaryl group not containing nitrogen in the ring
- 20 structure, the heteroaryl groups being optionally substituted by one, two or three substituents selected from the group consisting of halogen atoms, straight or branched, optionally substituted lower alkyl, hydroxy, oxo, straight or branched, optionally substituted lower alkoxy, -SH, straight or branched optionally substituted lower alkylthio, nitro, cyano, -NR'R'', -CO₂R', -C(O)-NR'R'', -N(R''')C(O)-R', -N(R''')-
- 25 C(O)NR'R'', wherein R', R'' and R''' each independently represents a hydrogen atom or a straight or branched, optionally substituted lower alkyl group or R' and R'' together with the atom to which they are attached form a cyclic group.
3. A compound according to claim 2 wherein R³ represents a monocyclic or polycyclic heteroaryl group comprising a nitrogen-containing six-membered ring, the heteroaryl
- 30 groups being optionally substituted by one, two or three substituents selected from the group consisting of halogen atoms, straight or branched, optionally substituted lower alkyl, hydroxy, oxo, straight or branched, optionally substituted lower alkoxy, -SH, straight or branched optionally substituted lower alkylthio, nitro, cyano, -NR'R'', -
- 35 CO₂R', -C(O)-NR'R'', -N(R''')C(O)-R', -N(R''')-C(O)NR'R'', wherein R', R'' and R''' each

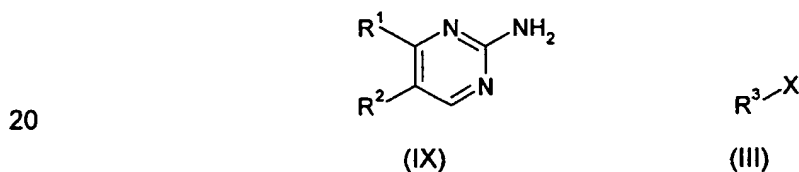
independently represents a hydrogen atom or a straight or branched, optionally substituted lower alkyl group or R' and R'' together with the atom to which they are attached form a cyclic group.

- 5 4. A compound according to any one of the preceding claims wherein R³ is selected from the group consisting of pyridine, pyrimidine, pyridazine, isoquinoline, quinoline, naphthyridine, pyridine-2(1H)-one, furan and thiophene all of them optionally substituted by one, two or three substituents selected from the group consisting of
10 halogen atoms, straight or branched, optionally substituted lower alkyl, hydroxy, oxo, straight or branched, optionally substituted lower alkoxy, -SH, straight or branched optionally substituted lower alkylthio, nitro, cyano, -NR'R'', -CO₂R', -C(O)-NR'R'', -N(R''')C(O)-R', -N(R''')-C(O)NR'R'', wherein R', R'' and R''' each independently represents a hydrogen atom or a straight or branched, optionally substituted lower alkyl group or R' and R'' together with the atom to which they are attached form a
15 cyclic group.
5. A compound according to claim 4 wherein R³ is selected from the group consisting of pyridine and pyridine-2(1H)-one, all of them optionally substituted by one, two or three substituents selected from the group consisting of halogen atoms, straight or
20 branched, optionally substituted lower alkyl, hydroxy, oxo, straight or branched, optionally substituted lower alkoxy, -SH, straight or branched optionally substituted lower alkylthio, nitro, cyano, -NR'R'', -CO₂R', -C(O)-NR'R'', -N(R''')C(O)-R', -N(R''')-C(O)NR'R'', wherein R', R'' and R''' each independently represents a hydrogen atom or a straight or branched, optionally substituted lower alkyl group or R' and R'' together
25 with the atom to which they are attached form a cyclic group.
6. A compound according to any one of claims 1 to 4 wherein R³ is selected from the group consisting of pyridine, pyrimidine, pyridazine, isoquinoline, quinoline, naphthyridine and pyridine-2(1H)-one, all of them optionally substituted by a
30 substituent selected from the group consisting of halogen atoms, straight or branched, optionally substituted lower alkyl, oxo, straight or branched, optionally substituted lower alkoxy, straight or branched optionally substituted lower alkylthio and cyano groups.

7. A compound according to any one of the preceding claims wherein R³ is selected from the group consisting of pyridine and pyridine-2(1H)-one, all of them optionally substituted by a substituent selected from the group consisting of halogen atoms, straight or branched, optionally substituted lower alkyl, oxo, straight or branched, optionally substituted lower alkoxy, straight or branched optionally substituted lower alkylthio and cyano groups.
8. A compound according to any one of the preceding claims wherein R¹ represents a group selected from phenyl, furan-2-yl, furan-3-yl, thien-2-yl, thien-3-yl, pyridin-2-yl, pyridin-3-yl and pyridin-4-yl all of them optionally substituted by one, two or three substituents selected from the group consisting of halogen atoms, straight or branched, optionally substituted lower alkyl, hydroxy, straight or branched, optionally substituted lower alkoxy, -SH, straight or branched optionally substituted lower alkylthio, nitro, cyano, -NR'R'', -CO₂R', -C(O)-NR'R'', -N(R''')C(O)-R', -N(R''')-C(O)NR'R'', wherein R', R'' and R''' each independently represents a hydrogen atom or a straight or branched, optionally substituted lower alkyl group or R' and R'' together with the atom to which they are attached form a cyclic group.
9. A compound according to claim 8 wherein R¹ represents a group selected from phenyl, furan-2-yl, furan-3-yl and thien-2-yl, all of them optionally substituted by an halogen atom.
10. A compound according to claim 9 wherein R¹ represents a group selected from unsubstituted furan-2-yl and unsubstituted thien-2-yl..
11. A compound according to any one of the preceding claims wherein R² represents a pyrimidinyl or pyridazinyl group which may be optionally substituted by one, two or three substituents selected from group consisting of halogen atoms, straight or branched, optionally substituted lower alkyl, hydroxy, straight or branched, optionally substituted lower alkoxy, -SH, straight or branched optionally substituted lower alkylthio, nitro, cyano, -NR'R'', -CO₂R', -C(O)-NR'R'', -N(R''')C(O)-R', -N(R''')-C(O)NR'R'', wherein R', R'' and R''' each independently represents a hydrogen atom or a straight or branched, optionally substituted lower alkyl group or R' and R'' together with the atom to which they are attached form a cyclic group.

12. A compound according to claim 11 wherein R² represents a pyrimidinyl or pyridazinyl group which may be optionally substituted by a straight or branched optionally substituted lower alkylthio group.
- 5 13. A compound according to claim 12 wherein R² represents an unsubstituted pyrimidin-4-yl or unsubstituted pyridazin-4-yl group.
- 10 14. A compound according to any one of the preceding claims wherein R¹ represents a group selected from unsubstituted furan-2-yl and unsubstituted thien-2-yl, R² represents an unsubstituted pyrimidin-4-yl or an unsubstituted pyridazin-4-yl and wherein R³ is selected from the group consisting of pyridine, pyrimidine, pyridazine, isoquinoline, quinoline, naphthyridine and pyridine-2(1H)-one, all of them optionally substituted by a substituent selected from the group consisting of halogen atoms, straight or branched, optionally substituted lower alkyl, oxo, straight or branched, optionally substituted lower alkoxy, straight or branched optionally substituted lower alkylthio and cyano groups.
- 15 15. A compound according to claim 1 which is one of:
- 4'-(2-furyl)-*N*-pyridin-3-yl-4,5'-bipyrimidin-2'-amine
 - 20 • 4'-(2-furyl)-*N*-(6-methoxypyridin-3-yl)-4,5'-bipyrimidin-2'-amine
 - 4'-(2-furyl)-*N*-pyridin-2-yl-4,5'-bipyrimidin-2'-amine
 - *N*-(6-fluoropyridin-3-yl)-4'-(2-furyl)-4,5'-bipyrimidin-2'-amine
 - 4'-(2-furyl)-*N*-(4-methylpyridin-3-yl)-4,5'-bipyrimidin-2'-amine
 - *N*-pyridin-3-yl-4'-thien-2-yl-4,5'-bipyrimidin-2'-amine
 - 25 • 4'-(3-fluorophenyl)-*N*-pyridin-3-yl-4,5'-bipyrimidin-2'-amine
 - 4'-(3-fluorophenyl)-*N*-(6-methoxypyridin-3-yl)-4,5'-bipyrimidin-2'-amine
 - 4'-(2-furyl)-*N*-(6-methoxypyridin-3-yl)-2-(methylthio)-4,5'-bipyrimidin-2'-amine
 - 4'-(3-fluorophenyl)-2-(methylthio)-*N*-pyridin-3-yl-4,5'-bipyrimidin-2'-amine
 - 4-(2-furyl)-5-pyridazin-4-yl-*N*-pyridin-3-ylpyrimidin-2'-amine
 - 30 • 4'-(2-furyl)-*N*-(1-oxidopyridin-3-yl)-4,5'-bipyrimidin-2'-amine
 - 4'-(2-furyl)-*N*-pyrimidin-5-yl-4,5'-bipyrimidin-2'-amine
 - 4'-(2-furyl)-*N*-(5-methoxypyridin-3-yl)-4,5'-bipyrimidin-2'-amine
 - 4'-(2-furyl)-*N*-(6-methylpyridin-3-yl)-4,5'-bipyrimidin-2'-amine
 - 4'-(2-furyl)-*N*-pyrazin-2-yl-4,5'-bipyrimidin-2'-amine
 - 35 • 5-{{4'-(2-furyl)-4,5'-bipyrimidin-2'-yl}amino}nicotinonitrile

- 4'-(2-furyl)-*N*-(1-oxidopyrimidin-5-yl)-4,5'-bipyrimidin-2'-amine
 - 4'-(2-furyl)-*N*-[2-(methylthio)pyrimidin-4-yl]-4,5'-bipyrimidin-2'-amine
 - *N*-[6-(benzyloxy)pyridin-3-yl]-4'-(2-furyl)-4,5'-bipyrimidin-2'-amine
 - 5-[[4'-(2-furyl)-4,5'-bipyrimidin-2'-yl]amino]pyridin-2(1*H*)-one
 - 5 • 4'-(2-furyl)-*N*-1,6-naphthyridin-8-yl-4,5'-bipyrimidin-2'-amine
 - 4'-(2-furyl)-*N*-isoquinolin-4-yl-4,5'-bipyrimidin-2'-amine
 - 4'-(2-furyl)-*N*-quinolin-3-yl-4,5'-bipyrimidin-2'-amine
 - 4'-(3-furyl)-*N*-pyridin-3-yl-4,5'-bipyrimidin-2'-amine
 - 4'-(3-furyl)-*N*-pyrimidin-5-yl-4,5'-bipyrimidin-2'-amine
 - 10 • *N*-pyrimidin-5-yl-4'-(2-thienyl)-4,5'-bipyrimidin-2'-amine
 - *N*-(1-oxidopyridin-3-yl)-4'-(2-thienyl)-4,5'-bipyrimidin-2'-amine
 - 5-pyridazin-4-yl-*N*-pyridin-3-yl-4-(2-thienyl)pyrimidin-2-amine
 - 4-(2-furyl)-5-pyridazin-4-yl-*N*-pyrimidin-5-ylpyrimidin-2-amine.
- 15 16. A process for producing a compound of formula I as defined in any one of claims 1 to 15, wherein a compound of formula (IX) where R¹ and R² are as hereinbefore defined is coupled with a compound of formula (III) where R³ is as hereinbefore defined and X is halogen, preferably bromine, iodine or chlorine



17. A compound according to any one of claims 1 to 15 for use in the treatment of a pathological condition or disease susceptible to amelioration by antagonism of the adenosine A_{2B} receptor.
- 25
18. A pharmaceutical composition comprising a compound as defined in any one of claims 1 to 15 in admixture with a pharmaceutically acceptable diluent or carrier.
- 30 19. Use of a compound as defined in any one of claims 1 to 15 in the manufacture of a medicament for the treatment of a pathological condition or disease susceptible of being improved by antagonism of the A_{2B} adenosine receptor.

20. Use according to claim 19, wherein the pathological condition or disease is asthma, bronchoconstriction, allergic diseases, hypertension, atherosclerosis, reperfusion injury, myocardial ischemia, retinopathy, inflammation, gastrointestinal tract disorders, cell proliferation disorders, diabetes mellitus, and/or autoimmune diseases.

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21. A method for treating a subject afflicted with a pathological condition or disease susceptible to amelioration by antagonism of the A_{2B} adenosine receptor, which comprises administering to said subject an effective amount of a compound as defined in any one of claims 1 to 15.

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22. A method according to claim 21, wherein the pathological condition or disease is asthma, bronchoconstriction, allergic diseases, hypertension, atherosclerosis, reperfusion injury, myocardial ischemia, retinopathy, inflammation, gastrointestinal tract disorders, cell proliferation disorders, diabetes mellitus, and/or autoimmune diseases.

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